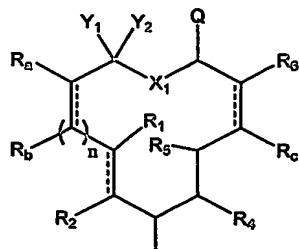


## CLAIMS

We claim:

1. A compound having the structure:



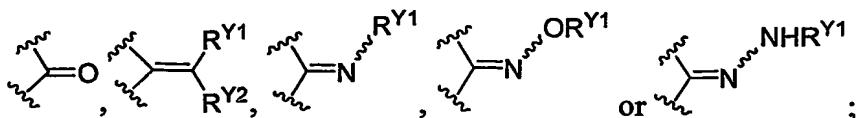
(I)

or pharmaceutically acceptable derivative thereof;

wherein **R**<sub>1</sub> and **R**<sub>2</sub> are each independently hydrogen, halogen, -CN, -S(O)<sub>1-2</sub>**R**<sup>1A</sup>, -NO<sub>2</sub>, -COR<sup>1A</sup>, -CO<sub>2</sub>**R**<sup>1A</sup>, -NR<sup>1A</sup>C(=O)**R**<sup>1B</sup>, -NR<sup>1A</sup>C(=O)OR<sup>1B</sup>, -CONR<sup>1A</sup>**R**<sup>1B</sup>, an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety, or -WR<sup>1A</sup>; wherein W is independently -O-, -S- or -NR<sup>1C</sup>-, wherein each occurrence of **R**<sup>1A</sup>, **R**<sup>1B</sup> and **R**<sup>1C</sup> is independently hydrogen, or an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety; or **R**<sub>1</sub> and **R**<sub>2</sub>, taken together with the carbon atoms to which they are attached, form an alicyclic, heteroalicyclic, aryl or heteroaryl moiety;

**R**<sub>3</sub> is hydrogen, an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety; or a prodrug moiety or an oxygen protecting group;

**R**<sub>4</sub> is halogen, -OR<sup>4A</sup>, -OC(=O)R<sup>4A</sup> or -NR<sup>4A</sup>R<sup>4B</sup>; wherein **R**<sup>4A</sup> and **R**<sup>4B</sup> are independently hydrogen, an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety; a prodrug moiety, a nitrogen protecting group or an oxygen protecting group; or **R**<sup>4A</sup> and **R**<sup>4B</sup>, taken together with the nitrogen atom to which they are attached, form a heterocyclic or heteroaryl moiety; or **R**<sub>4</sub>, taken together with the carbon atom to which it is attached forms a moiety having the structure:



**R**<sub>5</sub> is hydrogen, an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety;

$R_6$  is hydrogen, halogen, -CN, -S(O)<sub>1-2</sub>R<sup>6A</sup>, -NO<sub>2</sub>, -COR<sup>6A</sup>, -CO<sub>2</sub>R<sup>6A</sup>, -NR<sup>6A</sup>C(=O)R<sup>6B</sup>, -NR<sup>6A</sup>C(=O)OR<sup>6B</sup>, -CONR<sup>6A</sup>R<sup>6B</sup>, an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety, or -WR<sup>6A</sup>; wherein W is independently -O-, -S- or -NR<sup>6C</sup>-, wherein each occurrence of R<sup>6A</sup>, R<sup>6B</sup> and R<sup>6C</sup> is independently hydrogen, or an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety; or R<sub>6</sub> and R<sub>c</sub>, taken together with the carbon atoms to which they are attached, form an alicyclic, heteroalicyclic, aryl or heteroaryl moiety;

$R_a$  and each occurrence of R<sub>b</sub> are independently hydrogen, halogen, -CN, -S(O)<sub>1-2</sub>R<sup>a1</sup>, -NO<sub>2</sub>, -COR<sup>a1</sup>, -CO<sub>2</sub>R<sup>a1</sup>, -NR<sup>a1</sup>C(=O)R<sup>a2</sup>, -NR<sup>a1</sup>C(=O)OR<sup>a2</sup>, -CONR<sup>a1</sup>R<sup>a2</sup>, an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety, or -WR<sup>a1</sup>; wherein W is independently -O-, -S- or -NR<sup>a3</sup>-, wherein each occurrence of R<sup>a1</sup>, R<sup>a2</sup> and R<sup>a3</sup> is independently hydrogen, or an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety; or R<sub>a</sub> and the adjacent occurrence of R<sub>b</sub>, taken together with the carbon atoms to which they are attached, form an alicyclic, heteroalicyclic, aryl or heteroaryl moiety;

$R_c$  is hydrogen, halogen, -CN, -S(O)<sub>1-2</sub>R<sup>c1</sup>, -NO<sub>2</sub>, -COR<sup>c1</sup>, -CO<sub>2</sub>R<sup>c1</sup>, -NR<sup>c1</sup>C(=O)R<sup>c2</sup>, -NR<sup>c1</sup>C(=O)OR<sup>c2</sup>, -CONR<sup>c1</sup>R<sup>c2</sup>; an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety, or -WR<sup>c1</sup>; wherein W is independently -O-, -S- or -NR<sup>c3</sup>-, wherein each occurrence of R<sup>c1</sup>, R<sup>c2</sup> and R<sup>c3</sup> is independently hydrogen, or an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety; or R<sub>c</sub> and R<sub>6</sub>, taken together with the carbon atoms to which they are attached, form an alicyclic, heteroalicyclic, aryl or heteroaryl moiety;

$n$  is an integer from 1 to 5;

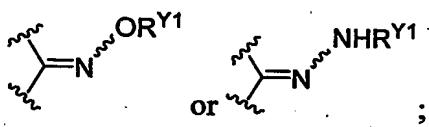
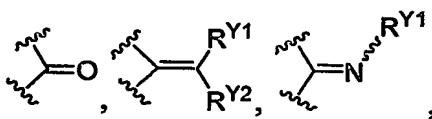
$X_1$  is O, S, NR<sup>X1</sup> or CR<sup>X1</sup>R<sup>X2</sup>; wherein R<sup>X1</sup> and R<sup>X2</sup> are independently hydrogen, halogen, an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety, or a nitrogen protecting group;

$Q$  is hydrogen, halogen, -CN, -S(O)<sub>1-2</sub>R<sup>Q1</sup>, -NO<sub>2</sub>, -COR<sup>Q1</sup>, -CO<sub>2</sub>R<sup>Q1</sup>, -NR<sup>Q1</sup>C(=O)R<sup>Q2</sup>, -NR<sup>Q1</sup>C(=O)OR<sup>Q2</sup>, -CONR<sup>Q1</sup>R<sup>Q2</sup>, an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety, or -WR<sup>Q1</sup>; wherein W is independently -O-, -S- or -NR<sup>Q3</sup>-, wherein each occurrence of R<sup>Q1</sup>, R<sup>Q2</sup> and R<sup>Q3</sup> is

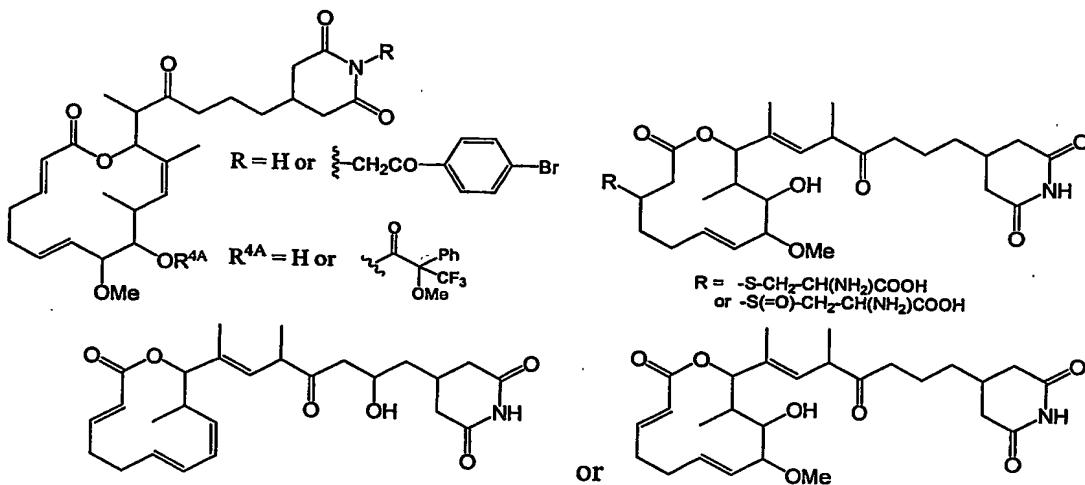
independently hydrogen, or an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety;

$Y_1$  and  $Y_2$  are independently hydrogen, an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety; or  $-WR^{Y_1}$ ; wherein W is independently  $-O-$ ,  $-S-$  or  $-NR^{Y_2}-$ , wherein each occurrence of  $R^{Y_1}$  and  $R^{Y_2}$  is independently hydrogen, or an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety; or  $Y_1$  and  $Y_2$  together with the carbon atom to which they

are attached form a moiety having the structure:



with the proviso that the compound does not have one of the following structures:

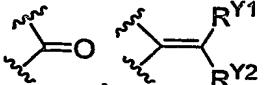
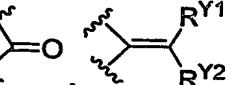


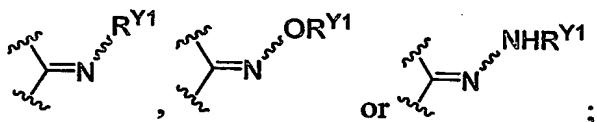
2. The compound of claim 1, wherein:

$R_1$  and  $R_2$  are each independently hydrogen or substituted or unsubstituted lower alkyl; or  $R_1$  and  $R_2$ , taken together with the carbon atoms to which they are attached, form an epoxide, an aziridine or a substituted or unsubstituted cyclopropyl moiety;

$R_3$  is hydrogen, or substituted or unsubstituted lower alkyl or aryl; a prodrug moiety or an oxygen protecting group;

**R**<sub>4</sub> is halogen, -OR<sup>4A</sup>, -OC(=O)R<sup>4A</sup> or -NR<sup>4A</sup>R<sup>4B</sup>; wherein R<sup>4A</sup> and R<sup>4B</sup> are independently hydrogen, or substituted or unsubstituted lower alkyl; a prodrug moiety, a nitrogen protecting group or an oxygen protecting group; or R<sup>4A</sup> and R<sup>4B</sup>, taken together with the nitrogen atom to which they are attached, form a heterocyclic or heteroaryl moiety; or R<sub>4</sub>, taken together with the carbon atom to

which it is attached forms a moiety having the structure:  , 



**R**<sub>5</sub> and **R**<sub>6</sub> are each independently hydrogen or substituted or unsubstituted lower alkyl; or R<sub>6</sub> and R<sub>c</sub>, taken together with the carbon atoms to which they are attached, form an epoxide, an aziridine or a substituted or unsubstituted cyclopropyl moiety;

**R**<sub>a</sub> and each occurrence of **R**<sub>b</sub> are independently hydrogen, halogen, alkyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl or heteroaryl moiety, or -WR<sup>a1</sup>; wherein W is independently -O-, -S- or -NR<sup>a3</sup>-; wherein each occurrence of R<sup>a1</sup>, and R<sup>a3</sup> is independently hydrogen, or an alkyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl or heteroaryl moiety; or R<sub>a</sub> and the adjacent occurrence of R<sub>b</sub>, taken together, form an epoxide, an aziridine or a substituted or unsubstituted cyclopropyl moiety;

**R**<sub>c</sub> is hydrogen, halogen, alkyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl or heteroaryl moiety, or -WR<sup>c1</sup>; wherein W is independently -O-, -S- or -NR<sup>c3</sup>-; wherein each occurrence of R<sup>c1</sup> and R<sup>c3</sup> is independently hydrogen, or an alkyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl or heteroaryl moiety; or R<sub>c</sub> and R<sub>6</sub>, taken together with the carbon atoms to which they are attached, form an epoxide, an aziridine or a substituted or unsubstituted cyclopropyl moiety;

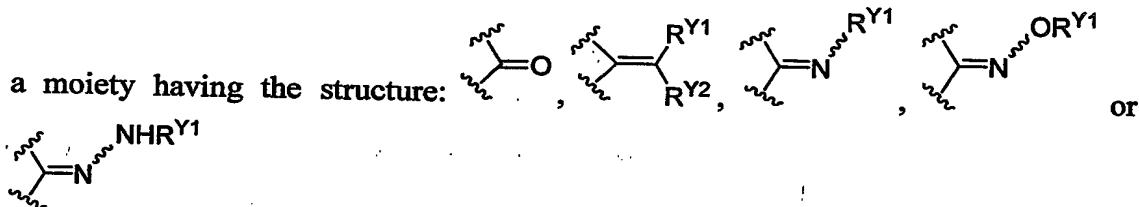
**n** is an integer from 1 to 5;

**X**<sub>1</sub> is O, S, NR<sup>X1</sup> or CR<sup>X1</sup>R<sup>X2</sup>; wherein R<sup>X1</sup> and R<sup>X2</sup> are independently hydrogen, halogen, substituted or unsubstituted alkyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl or heteroaryl, or a nitrogen protecting group;

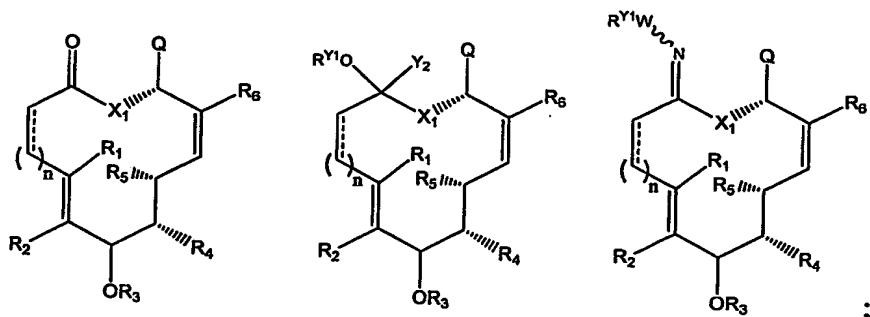
**Q** is hydrogen, halogen, -CN, -S(O)<sub>1-2</sub>R<sup>Q1</sup>, -NO<sub>2</sub>, -COR<sup>Q1</sup>, -CO<sub>2</sub>R<sup>Q1</sup>, -NR<sup>Q1</sup>C(=O)R<sup>Q2</sup>, -NR<sup>Q1</sup>C(=O)OR<sup>Q2</sup>, -CONR<sup>Q1</sup>R<sup>Q2</sup>, an aliphatic, heteroaliphatic,

alicyclic, heteroalicyclic, aryl or heteroaryl moiety, or  $-WR^{Q1}$ ; wherein W is independently  $-O-$ ,  $-S-$  or  $-NR^{Q3}-$ , wherein each occurrence of  $R^{Q1}$ ,  $R^{Q2}$  and  $R^{Q3}$  is independently hydrogen, or an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety;

$Y_1$  and  $Y_2$  are independently hydrogen, an alkyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl or heteroaryl moiety; or  $-WR^{Y1}$ ; wherein W is independently  $-O-$ ,  $-S-$  or  $-NR^{Y2}-$ , wherein each occurrence of  $R^{Y1}$  and  $R^{Y2}$  is independently hydrogen, or an alkyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl or heteroaryl moiety; or  $Y_1$  and  $Y_2$  together with the carbon atom to which they are attached form

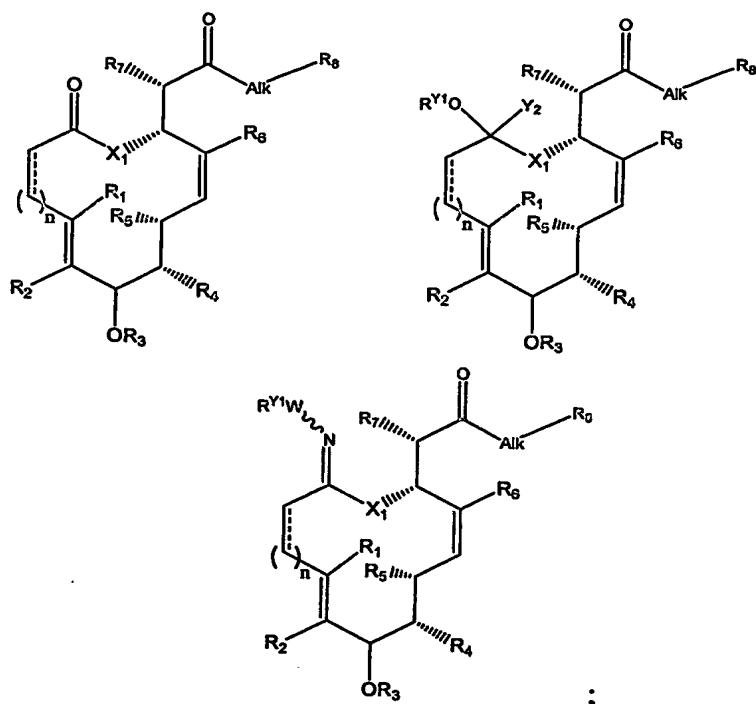


3. The compound of claim 2, wherein  $R_a$ ,  $R_b$  and  $R_c$  are each hydrogen, and the compound has one of the following structures:



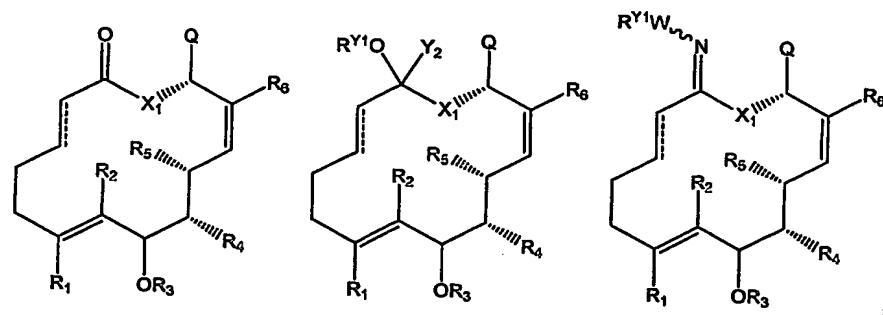
wherein  $R_1-R_6$ ,  $Y_2$ ,  $X_1$ ,  $n$  and  $Q$  are as defined in claim 2; W is O or NH; and  $R^{Y1}$  is hydrogen, or an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety.

4. The compound of claim 2, wherein  $R_a$ ,  $R_b$  and  $R_c$  are each hydrogen,  $Q$  is a carbonyl-containing moiety and the compound has one of the following structures:



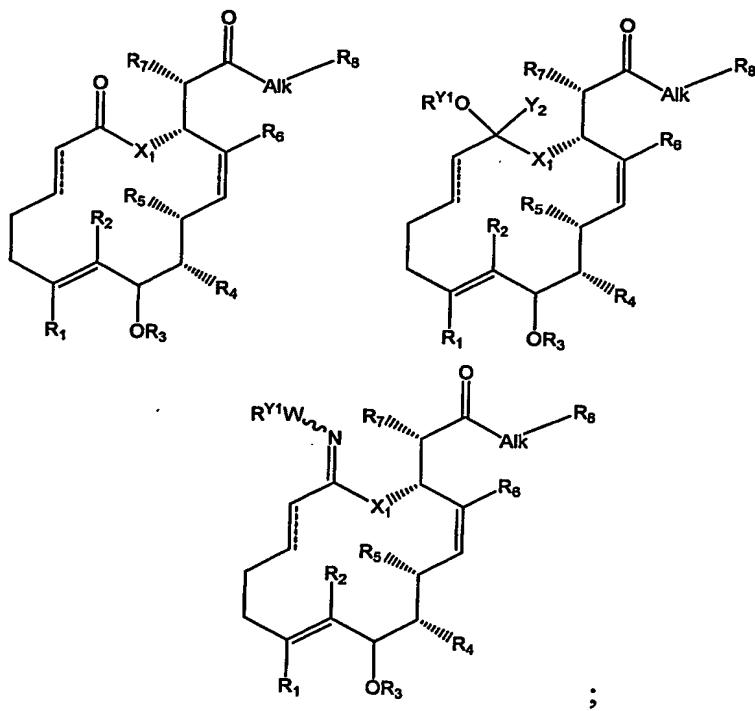
wherein  $R_1$ - $R_6$ ,  $Y_2$ ,  $X_1$ , and  $n$  are as defined in claim 2;  $W$  is  $O$  or  $NH$ ; and  $R^{Y1}$  is hydrogen, or an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety;  $R_7$  is a substituted or unsubstituted lower alkyl or heteroalkyl moiety;  $R_8$  is a substituted or unsubstituted alkyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl or heteroaryl moiety; and  $Alk$  is a substituted or unsubstituted  $C_{0-6}$ alkylidene or  $C_{0-6}$ alkenylidene chain wherein up to two non-adjacent methylene units are independently optionally replaced by  $CO$ ,  $CO_2$ ,  $COCO$ ,  $CONR^{Z1}$ ,  $OCONR^{Z1}$ ,  $NR^{Z1}NR^{Z2}$ ,  $NR^{Z1}NR^{Z2}CO$ ,  $NR^{Z1}CO$ ,  $NR^{Z1}CO_2$ ,  $NR^{Z1}CONR^{Z2}$ ,  $SO$ ,  $SO_2$ ,  $NR^{Z1}SO_2$ ,  $SO_2NR^{Z1}$ ,  $NR^{Z1}SO_2NR^{Z2}$ ,  $O$ ,  $S$ , or  $NR^{Z1}$ ; wherein each occurrence of  $R^{Z1}$  and  $R^{Z2}$  is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

5. The compound of claim 2, wherein  $R_a$ ,  $R_b$  and  $R_c$  are each hydrogen,  $n$  is 3 and the compound has one of the following structures:



wherein R<sub>1</sub>-R<sub>6</sub>, Y<sub>2</sub>, Q and X<sub>1</sub> are as defined in claim 2; W is O or NH; and R<sup>Y1</sup> is hydrogen, or an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety.

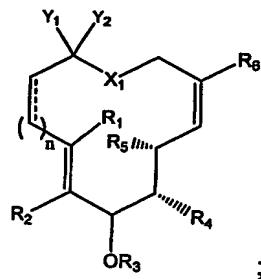
6. The compound of claim 2, wherein R<sub>a</sub>, R<sub>b</sub> and R<sub>c</sub> are each hydrogen, n is 3, Q is a carbonyl-containing moiety, and the compound has one of the following structures:



wherein R<sub>1</sub>-R<sub>6</sub>, X<sub>1</sub> and Y<sub>2</sub> are as defined in claim 2; W is O or NH; R<sup>Y1</sup> is hydrogen, or an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety; R<sub>7</sub> is a substituted or unsubstituted lower alkyl or heteroalkyl moiety; R<sub>8</sub> is a substituted or unsubstituted alkyl, heteroalkyl, cycloalkyl,

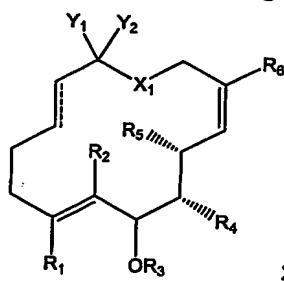
heterocycloalkyl, aryl or heteroaryl moiety; and Alk is a substituted or unsubstituted C<sub>0-6</sub>alkylidene or C<sub>0-6</sub>alkenylidene chain wherein up to two non-adjacent methylene units are independently optionally replaced by CO, CO<sub>2</sub>, COCO, CONR<sup>Z1</sup>, OCONR<sup>Z1</sup>, NR<sup>Z1</sup>NR<sup>Z2</sup>, NR<sup>Z1</sup>NR<sup>Z2</sup>CO, NR<sup>Z1</sup>CO, NR<sup>Z1</sup>CO<sub>2</sub>, NR<sup>Z1</sup>CONR<sup>Z2</sup>, SO, SO<sub>2</sub>, NR<sup>Z1</sup>SO<sub>2</sub>, SO<sub>2</sub>NR<sup>Z1</sup>, NR<sup>Z1</sup>SO<sub>2</sub>NR<sup>Z2</sup>, O, S, or NR<sup>Z1</sup>; wherein each occurrence of R<sup>Z1</sup> and R<sup>Z2</sup> is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl; and R<sub>8</sub> is a substituted or unsubstituted alkyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl or heteroaryl moiety.

7. The compound of claim 2, wherein R<sub>a</sub>, R<sub>b</sub> and R<sub>c</sub> are each hydrogen, Q is hydrogen, and the compound has the following structure:



wherein R<sub>1</sub>-R<sub>6</sub>, n, X<sub>1</sub>, Y<sub>1</sub> and Y<sub>2</sub> are as defined in claim 2.

8. The compound of claim 2, wherein R<sub>a</sub>, R<sub>b</sub> and R<sub>c</sub> are each hydrogen, n is 3, Q is hydrogen, and the compound has the following structure:



wherein R<sub>1</sub>-R<sub>6</sub>, X<sub>1</sub>, Y<sub>1</sub> and Y<sub>2</sub> are as defined in claim 2.

9. The compound of any one of claims 1-8, wherein R<sub>1</sub> and R<sub>2</sub> are each hydrogen.

10. The compound of any one of claims 1-8, wherein  $R_5$  and  $R_6$  are each methyl.

11. The compound of any one of claims 1-8, wherein  $R_3$  is lower alkyl.

12. The compound of claim 11, wherein  $R_3$  is methyl.

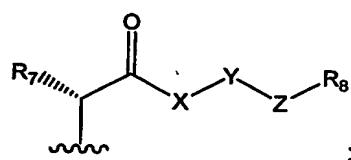
13. The compound of any one of claims 1-8, wherein  $R_4$  is OH, OAc, NH<sub>2</sub> or halogen, or  $R_4$  taken together with the carbon atom to which it is attached forms a

moiety having the structure: 

14. The compound of claim 4 or 6, wherein  $R_7$  is lower alkyl.

15. The compound of claim 14, wherein  $R_7$  is methyl.

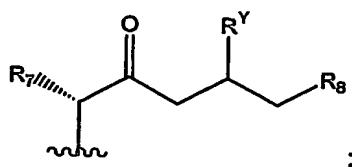
16. The compound of any one of claims 1-3 and 5, wherein Q has the structure:



wherein  $R_7$  is a substituted or unsubstituted, linear or branched, cyclic or acyclic lower alkyl moiety;  $R_8$  is a substituted or unsubstituted carbocyclic, heterocyclic, aryl or heteroaryl moiety; and X, Y and Z are independently a bond, -O-, -S-, -C(=O)-, -NR<sup>Z1</sup>-, -CHOR<sup>Z1</sup>, -CHNR<sup>Z1</sup>R<sup>Z2</sup>, C=S, C=N(R<sup>Y1</sup>) or -CH(Hal); or a substituted or unsubstituted C<sub>0-6</sub>alkylidene or C<sub>0-6</sub>alkenylidene chain wherein up to two non-adjacent methylene units are independently optionally replaced by CO, CO<sub>2</sub>, COCO, CONR<sup>Z1</sup>, OCONR<sup>Z1</sup>, NR<sup>Z1</sup>NR<sup>Z2</sup>, NR<sup>Z1</sup>NR<sup>Z2</sup>CO, NR<sup>Z1</sup>CO, NR<sup>Z1</sup>CO<sub>2</sub>, NR<sup>Z1</sup>CONR<sup>Z2</sup>, SO, SO<sub>2</sub>, NR<sup>Z1</sup>SO<sub>2</sub>, SO<sub>2</sub>NR<sup>Z1</sup>, NR<sup>Z1</sup>SO<sub>2</sub>NR<sup>Z2</sup>, O, S, or NR<sup>Z1</sup>; wherein Hal is a halogen selected from F, Cl, Br and I; and each occurrence of R<sup>Z1</sup> and R<sup>Z2</sup> is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl; or R<sup>Z1</sup> and R<sup>Z2</sup>, taken together with the nitrogen atom to which they are attached, for a

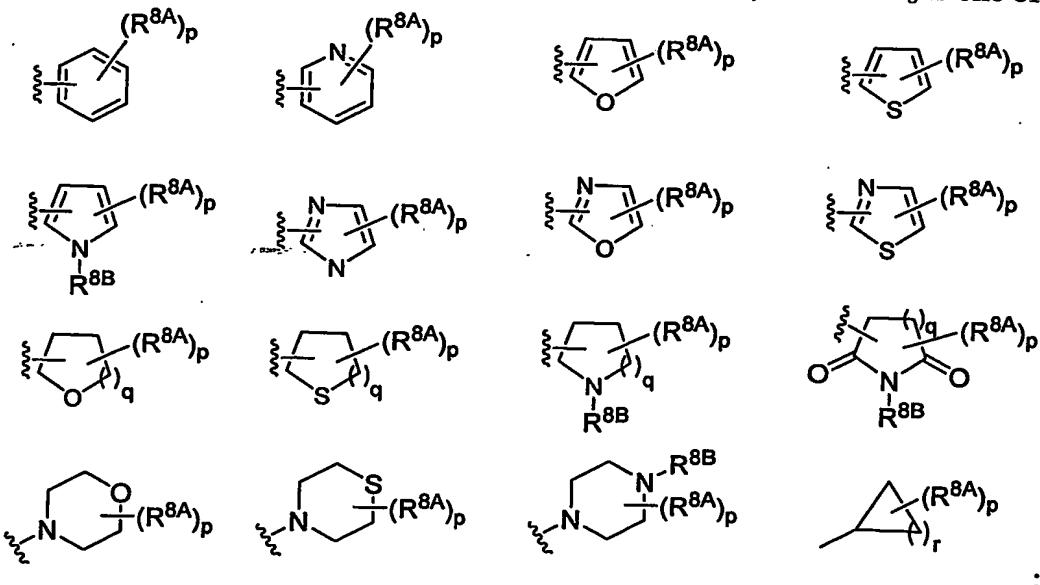
heterocyclic or heteroaryl moiety; and pharmaceutically acceptable derivatives thereof.

17. The compound of claim 16, wherein Q has the structure:



wherein R<sub>7</sub> is a substituted or unsubstituted, linear or branched, cyclic or acyclic lower alkyl moiety; R<sub>8</sub> is a substituted or unsubstituted carbocyclic, heterocyclic, aryl or heteroaryl moiety; and R<sup>Y</sup> is hydrogen, halogen, -OR<sup>Y1</sup> or -NR<sup>Y1</sup>NR<sup>Y2</sup>; wherein R<sup>Y1</sup> and R<sup>Y2</sup> are independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl, or R<sup>Y1</sup> and R<sup>Y2</sup>, taken together with the nitrogen atom to which they are attached, for a heterocyclic or heteroaryl moiety.

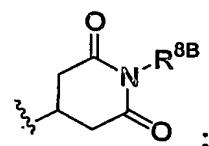
18. The compound of any one of claims 4, 6, 16 and 17, wherein R<sub>8</sub> is one of:



wherein p is an integer from 0 to 5; q is 1 or 2, r is an integer from 1 to 6; each occurrence of R<sup>8A</sup> is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl, -(alkyl)aryl or -(alkyl)heteroaryl, -OR<sup>8C</sup>, -SR<sup>8C</sup>, -N(R<sup>8C</sup>)<sub>2</sub>, -SO<sub>2</sub>N(R<sup>8C</sup>)<sub>2</sub>, -(C=O)N(R<sup>8C</sup>)<sub>2</sub>, halogen, -CN, -NO<sub>2</sub>, -(C=O)OR<sup>8C</sup>, -N(R<sup>8C</sup>)(C=O)R<sup>8D</sup>, wherein each occurrence of R<sup>8C</sup> and R<sup>8D</sup> is independently hydrogen, lower alkyl, lower

heteroalkyl, aryl, heteroaryl, -(alkyl)aryl or -(alkyl)heteroaryl; and each occurrence of  $R^{8B}$  is independently hydrogen or lower alkyl.

19. The compound of claim 18, wherein  $R_3$  has the structure:



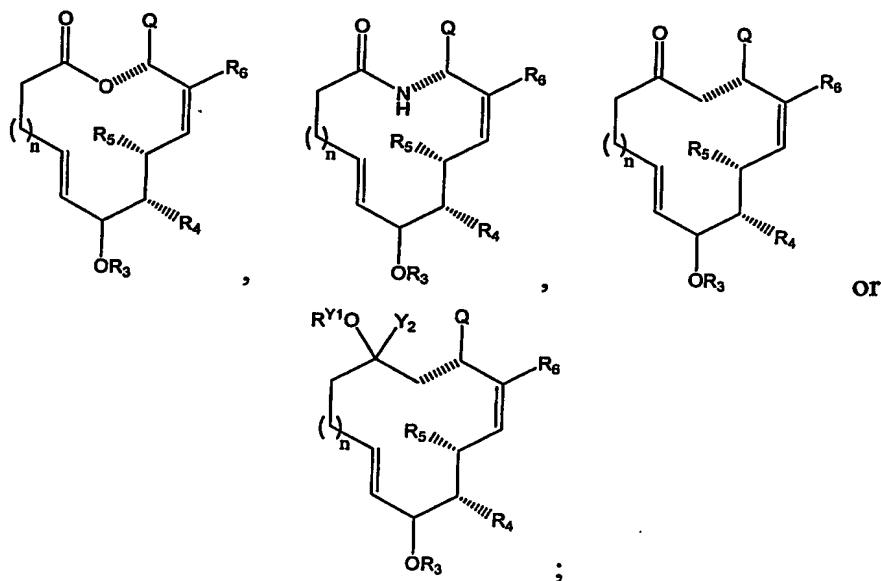
wherein  $R^{8B}$  is hydrogen or lower alkyl.

20. The compound of claim 1, 2, 3 or 4, wherein  $n$  is 3.

21. The compound of claim 3, 4, 5 or 6, wherein  $Y_1$  is  $OR^{Y1}$  and  $Y_2$  is lower alkyl; wherein  $R^{Y1}$  is hydrogen or lower alkyl.

22. The compound of claim 21, wherein  $Y_1$  is OH and  $Y_2$  is  $CF_3$ .

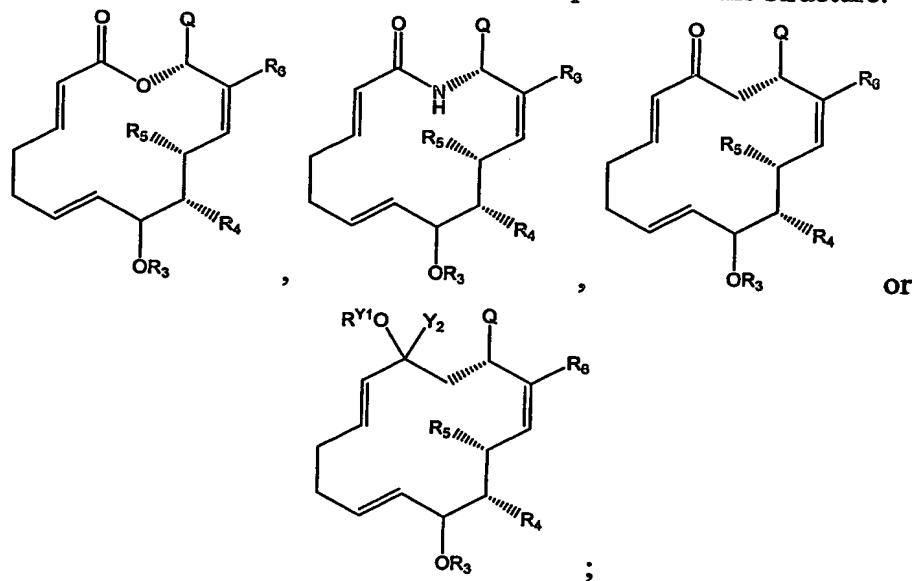
23. The compound of claim 2 wherein  $R_a$ ,  $R_b$  and  $R_c$  are each hydrogen, and the compound has one of the structures:



or pharmaceutically acceptable derivative thereof;

wherein R<sub>3</sub>-R<sub>6</sub>, n and Q are as defined in claim 2; and Y<sub>2</sub> and R<sup>Y1</sup> are independently hydrogen or lower alkyl.

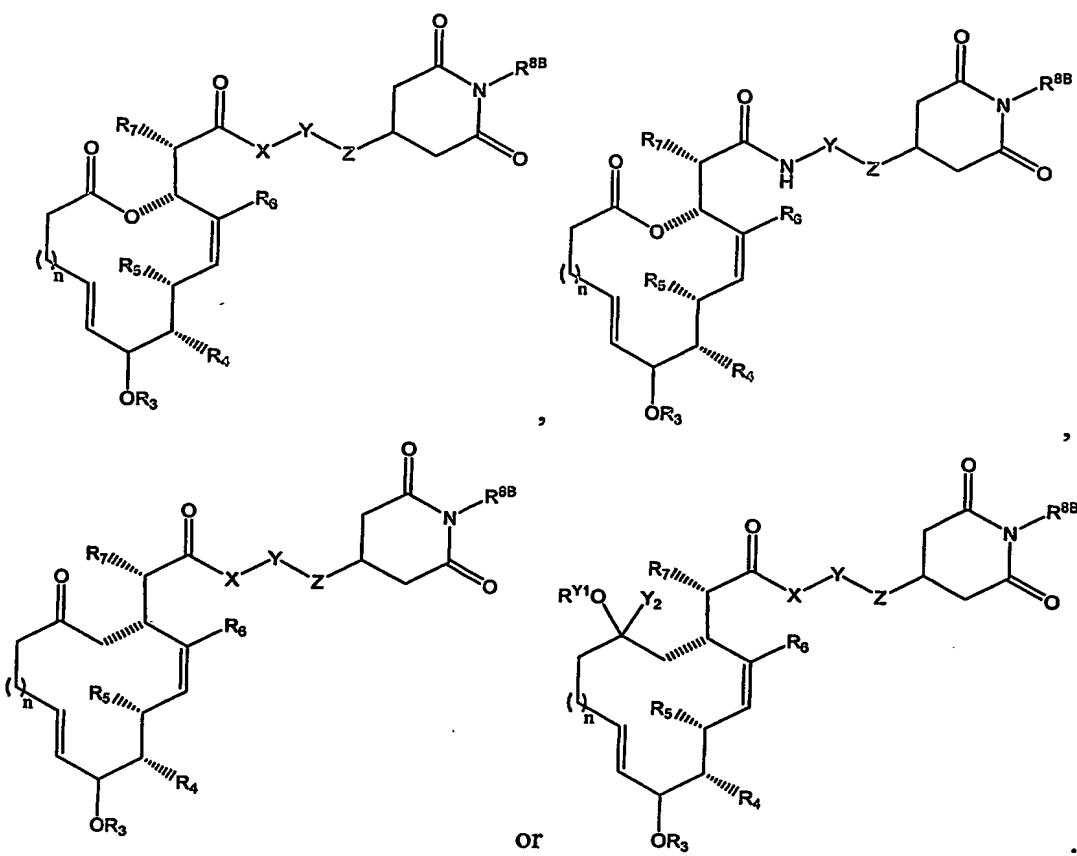
24. The compound of claim 2 wherein the compound has the structure:



or pharmaceutically acceptable derivative thereof;

wherein R<sub>3</sub>-R<sub>6</sub> and Q are as defined in claim 2; and Y<sub>2</sub> and R<sup>Y1</sup> are independently hydrogen or lower alkyl.

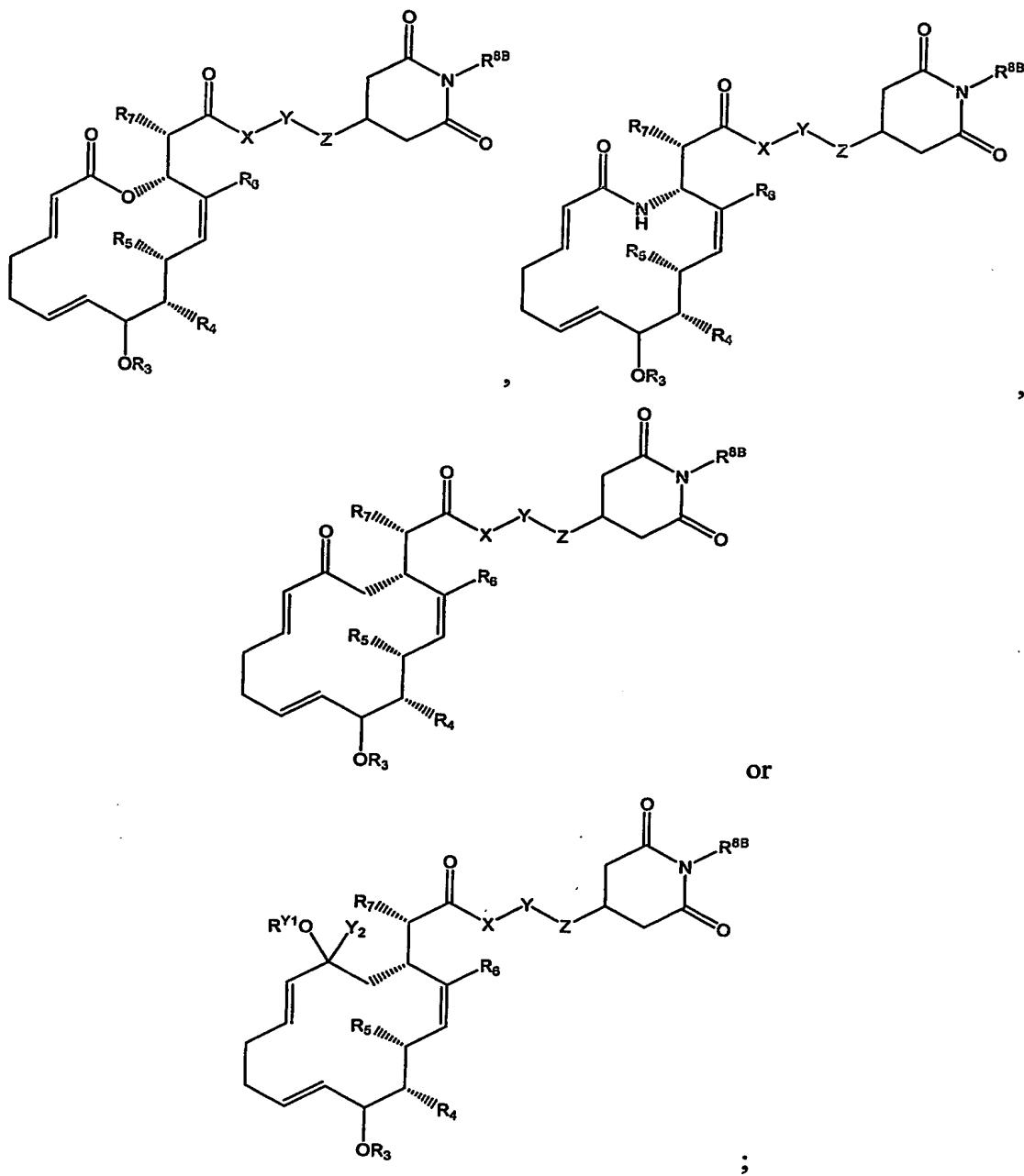
25. The compound of claim 2 wherein the compound has the structure:



or pharmaceutically acceptable derivative thereof;

wherein R<sub>3</sub>-R<sub>6</sub> and n are as defined in claim 2; Y<sub>2</sub> and R<sup>Y1</sup> are independently hydrogen or lower alkyl; R<sub>7</sub> is a substituted or unsubstituted, linear or branched, cyclic or acyclic lower alkyl moiety; R<sup>8B</sup> is hydrogen or lower alkyl; and X, Y and Z are independently a bond, -O-, -S-, -C(=O)-, -NR<sup>Z1</sup>-, -CHOR<sup>Z1</sup>, -CHNR<sup>Z1</sup>R<sup>Z2</sup>, C=S, C=N(R<sup>Y1</sup>) or -CH(Hal); or a substituted or unsubstituted C<sub>0-6</sub>alkylidene or C<sub>0-6</sub>alkenylidene chain wherein up to two non-adjacent methylene units are independently optionally replaced by CO, CO<sub>2</sub>, COCO, CONR<sup>Z1</sup>, OCONR<sup>Z1</sup>, NR<sup>Z1</sup>NR<sup>Z2</sup>, NR<sup>Z1</sup>NR<sup>Z2</sup>CO, NR<sup>Z1</sup>CO, NR<sup>Z1</sup>CO<sub>2</sub>, NR<sup>Z1</sup>CONR<sup>Z2</sup>, SO, SO<sub>2</sub>, NR<sup>Z1</sup>SO<sub>2</sub>, SO<sub>2</sub>NR<sup>Z1</sup>, NR<sup>Z1</sup>SO<sub>2</sub>NR<sup>Z2</sup>, O, S, or NR<sup>Z1</sup>; wherein Hal is a halogen selected from F, Cl, Br and I; and each occurrence of R<sup>Z1</sup> and R<sup>Z2</sup> is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl; or R<sup>Z1</sup> and R<sup>Z2</sup>, taken together with the nitrogen atom to which they are attached, for a heterocyclic or heteroaryl moiety.

26. The compound of claim 2 wherein the compound has the structure:



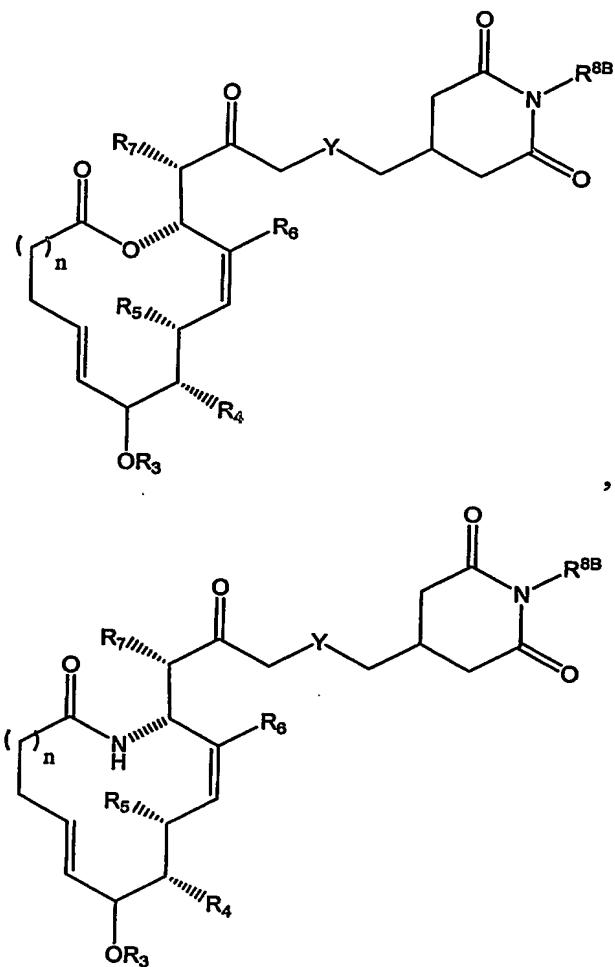
or pharmaceutically acceptable derivative thereof;

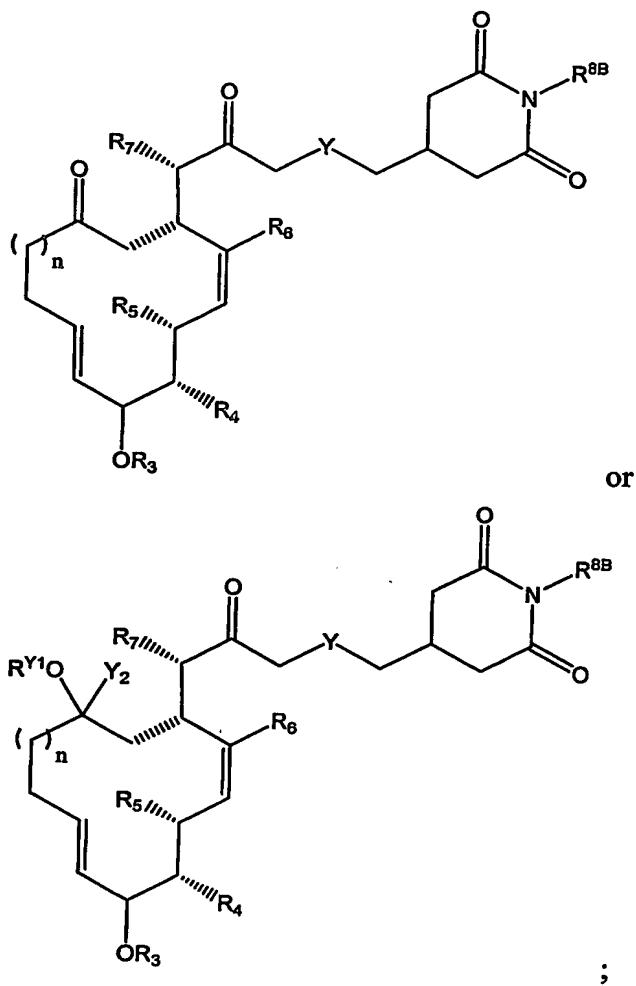
wherein R<sub>3</sub>-R<sub>6</sub> are as defined in claim 2; Y<sub>2</sub> and R<sup>Y1</sup> are independently hydrogen or lower alkyl; R<sub>7</sub> is a substituted or unsubstituted, linear or branched, cyclic or acyclic lower alkyl moiety; R<sup>8B</sup> is hydrogen or lower alkyl; and X, Y and Z are independently a bond, -O-, -S-, -C(=O)-, -NR<sup>Z1</sup>-, -CHOR<sup>Z1</sup>, -CHNR<sup>Z1</sup>R<sup>Z2</sup>, C=S, C=N(R<sup>Y1</sup>) or -CH(Hal); or a substituted or unsubstituted C<sub>0-6</sub>alkylidene or C<sub>0-6</sub>alkenylidene chain wherein up to two non-adjacent methylene units are

independently optionally replaced by CO, CO<sub>2</sub>, COCO, CONR<sup>Z1</sup>, OCONR<sup>Z1</sup>, NR<sup>Z1</sup>NR<sup>Z2</sup>, NR<sup>Z1</sup>NR<sup>Z2</sup>CO, NR<sup>Z1</sup>CO, NR<sup>Z1</sup>CO<sub>2</sub>, NR<sup>Z1</sup>CONR<sup>Z2</sup>, SO, SO<sub>2</sub>, NR<sup>Z1</sup>SO<sub>2</sub>, SO<sub>2</sub>NR<sup>Z1</sup>, NR<sup>Z1</sup>SO<sub>2</sub>NR<sup>Z2</sup>, O, S, or NR<sup>Z1</sup>; wherein Hal is a halogen selected from F, Cl, Br and I; and each occurrence of R<sup>Z1</sup> and R<sup>Z2</sup> is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl; or R<sup>Z1</sup> and R<sup>Z2</sup>, taken together with the nitrogen atom to which they are attached, for a heterocyclic or heteroaryl moiety.

27. The compound of claim 25 or 26, wherein -X-Y-Z together represents the moiety -CH<sub>2</sub>-Y-CH<sub>2</sub>-; wherein Y is -CHOR<sup>Y1</sup>, -CHNR<sup>Y1</sup>R<sup>Y2</sup>, C=O, C=S, C=N(R<sup>Y1</sup>) or -CH(Hal); wherein Hal is a halogen selected from F, Cl, Br and I; and R<sup>Y1</sup> and R<sup>Y2</sup> are independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl, or R<sup>Y1</sup> and R<sup>Y2</sup>, taken together with the nitrogen atom to which they are attached, for a heterocyclic or heteroaryl moiety.

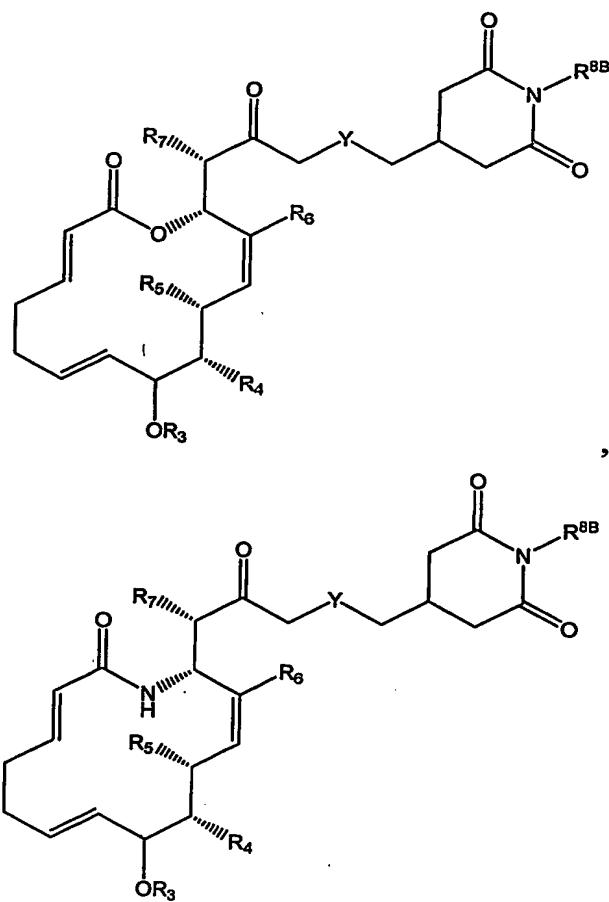
28. The compound of claim 2 wherein the compound has the structure:

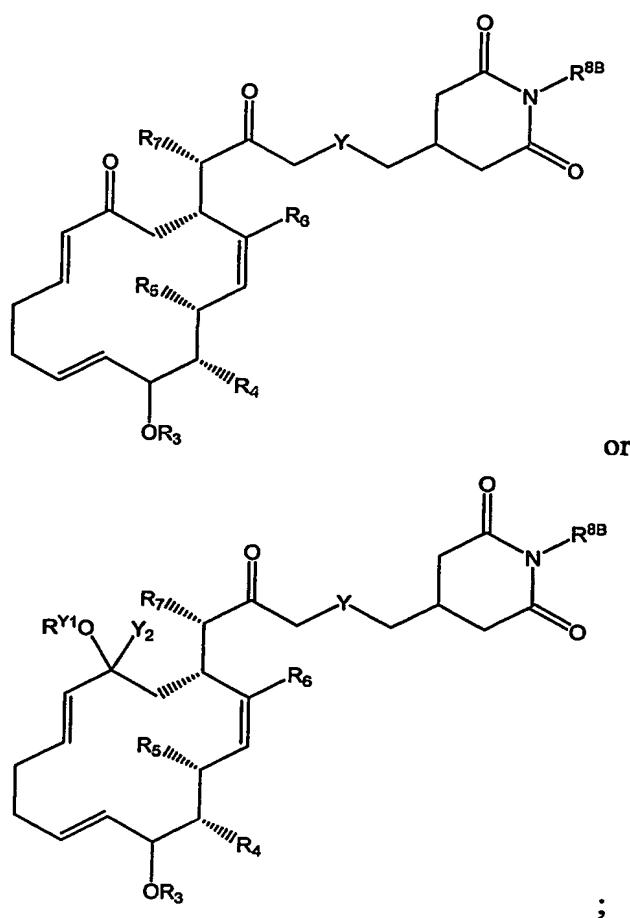




wherein R<sub>3</sub>-R<sub>6</sub> and n are as defined in claim 2; Y<sub>2</sub> and R<sup>Y1</sup> are independently hydrogen or lower alkyl; R<sub>7</sub> is a substituted or unsubstituted, linear or branched, cyclic or acyclic lower alkyl moiety; R<sup>8B</sup> is hydrogen or lower alkyl; and Y is -CHOR<sup>Y1</sup>, -CHNR<sup>Y1</sup>R<sup>Y2</sup>, C=O, C=S, C=N(R<sup>Y1</sup>) or -CH(Hal); wherein Hal is a halogen selected from F, Cl, Br and I; and R<sup>Y1</sup> and R<sup>Y2</sup> are independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl, or R<sup>Y1</sup> and R<sup>Y2</sup>, taken together with the nitrogen atom to which they are attached, for a heterocyclic or heteroaryl moiety.

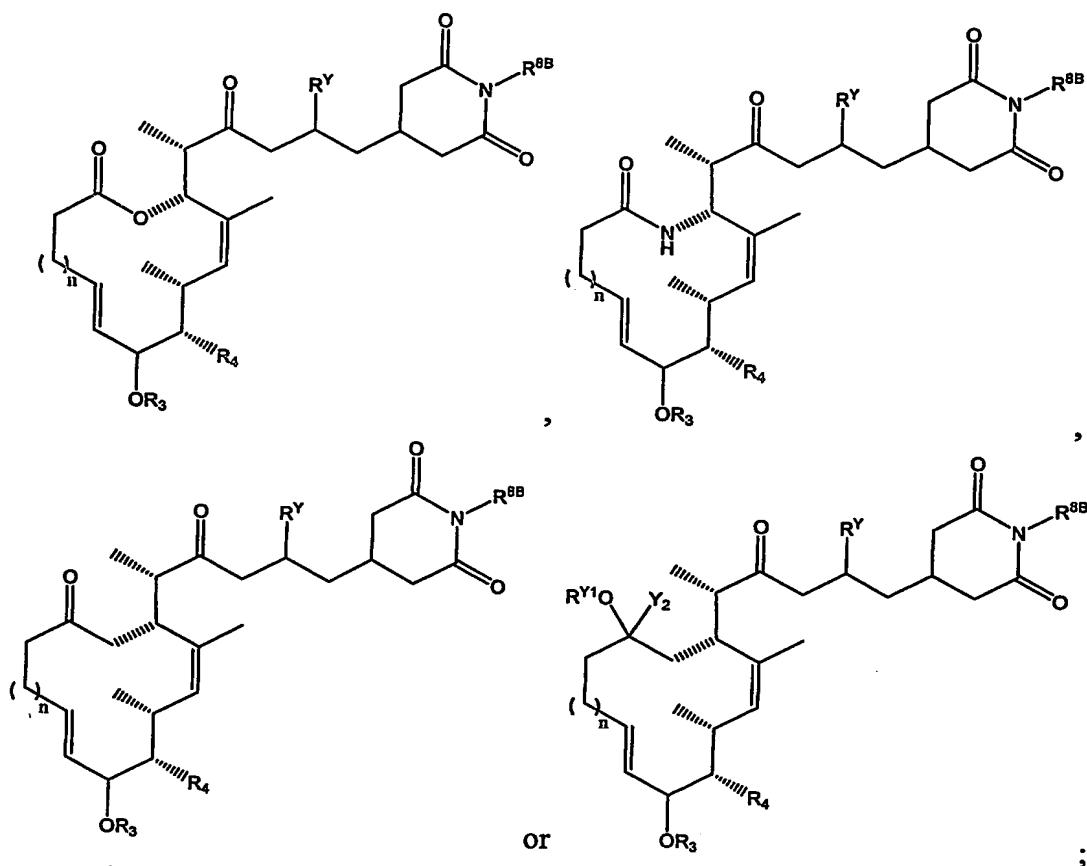
29. The compound of claim 2 wherein the compound has the structure:





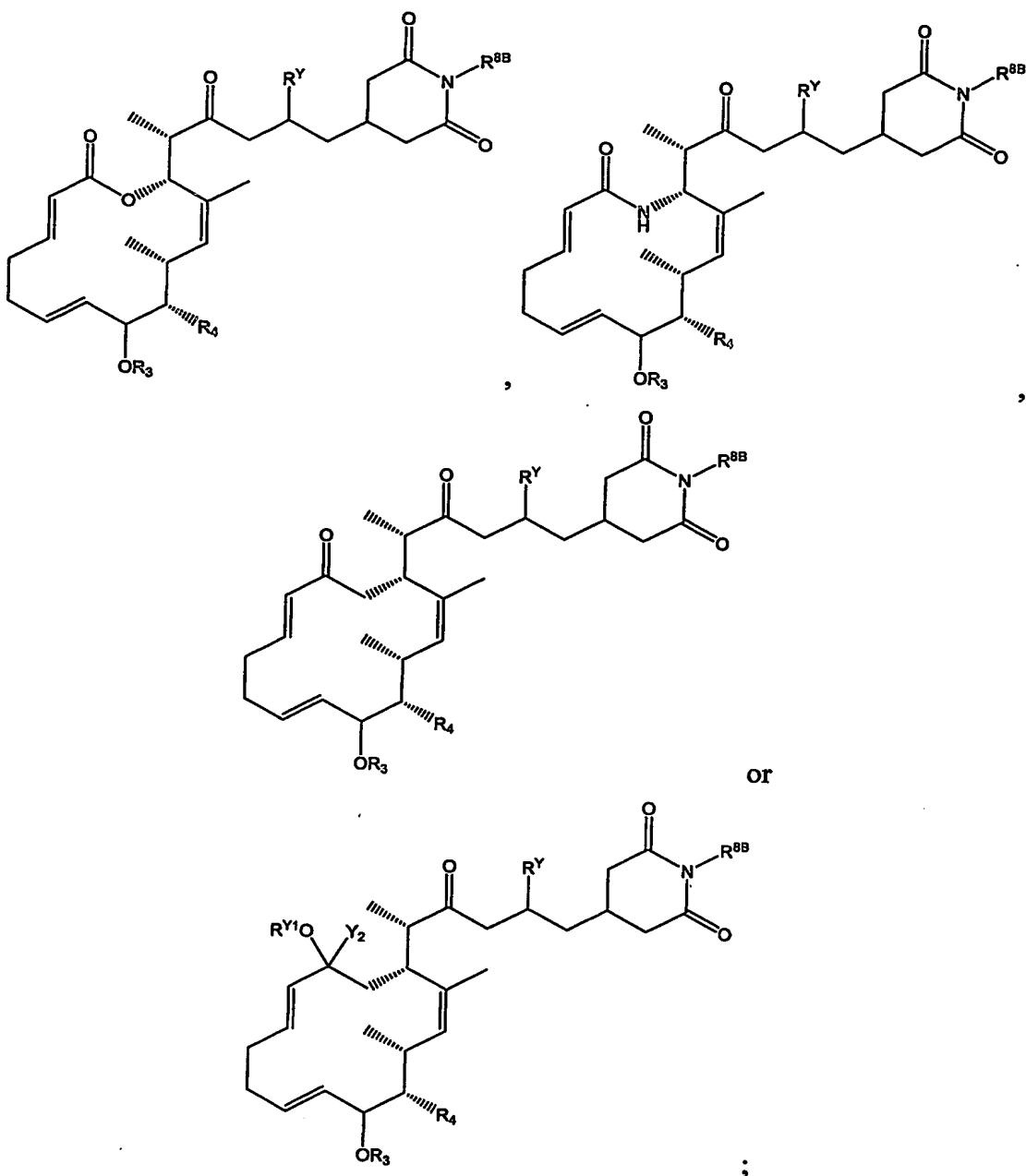
wherein R<sub>3</sub>-R<sub>6</sub> are as defined in claim 2; Y<sub>2</sub> and R<sup>Y<sub>1</sub></sup> are independently hydrogen or lower alkyl; R<sub>7</sub> is a substituted or unsubstituted, linear or branched, cyclic or acyclic lower alkyl moiety; R<sup>8B</sup> is hydrogen or lower alkyl; and Y is -CHOR<sup>Y<sub>1</sub></sup>, -CHNR<sup>Y<sub>1</sub></sup>R<sup>Y<sub>2</sub></sup>, C=O, C=S, C=N(R<sup>Y<sub>1</sub></sup>) or -CH(Hal); wherein Hal is a halogen selected from F, Cl, Br and I; and R<sup>Y<sub>1</sub></sup> and R<sup>Y<sub>2</sub></sup> are independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl, or R<sup>Y<sub>1</sub></sup> and R<sup>Y<sub>2</sub></sup>, taken together with the nitrogen atom to which they are attached, for a heterocyclic or heteroaryl moiety.

30. The compound of claim 2 wherein the compound has the structure:



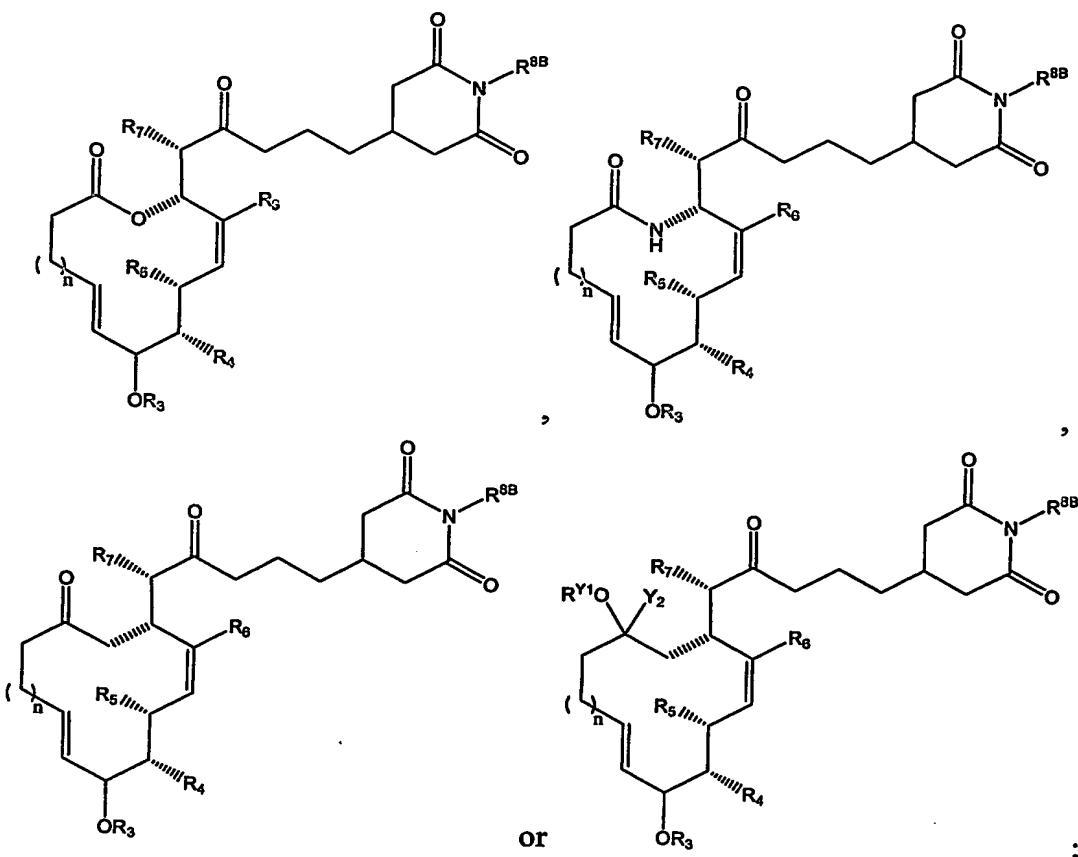
wherein n, R<sub>3</sub> and R<sub>4</sub> are as defined in claim 2; Y<sub>2</sub> and R<sup>Y1</sup> are independently hydrogen or lower alkyl; R<sup>8B</sup> is hydrogen or lower alkyl; and R<sup>Y</sup> is hydrogen, halogen, -OR<sup>Y1</sup> or -NR<sup>Y1</sup>NR<sup>Y2</sup>; wherein R<sup>Y1</sup> and R<sup>Y2</sup> are independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl, or R<sup>Y1</sup> and R<sup>Y2</sup>, taken together with the nitrogen atom to which they are attached, for a heterocyclic or heteroaryl moiety.

31. The compound of claim 2 wherein the compound has the structure:



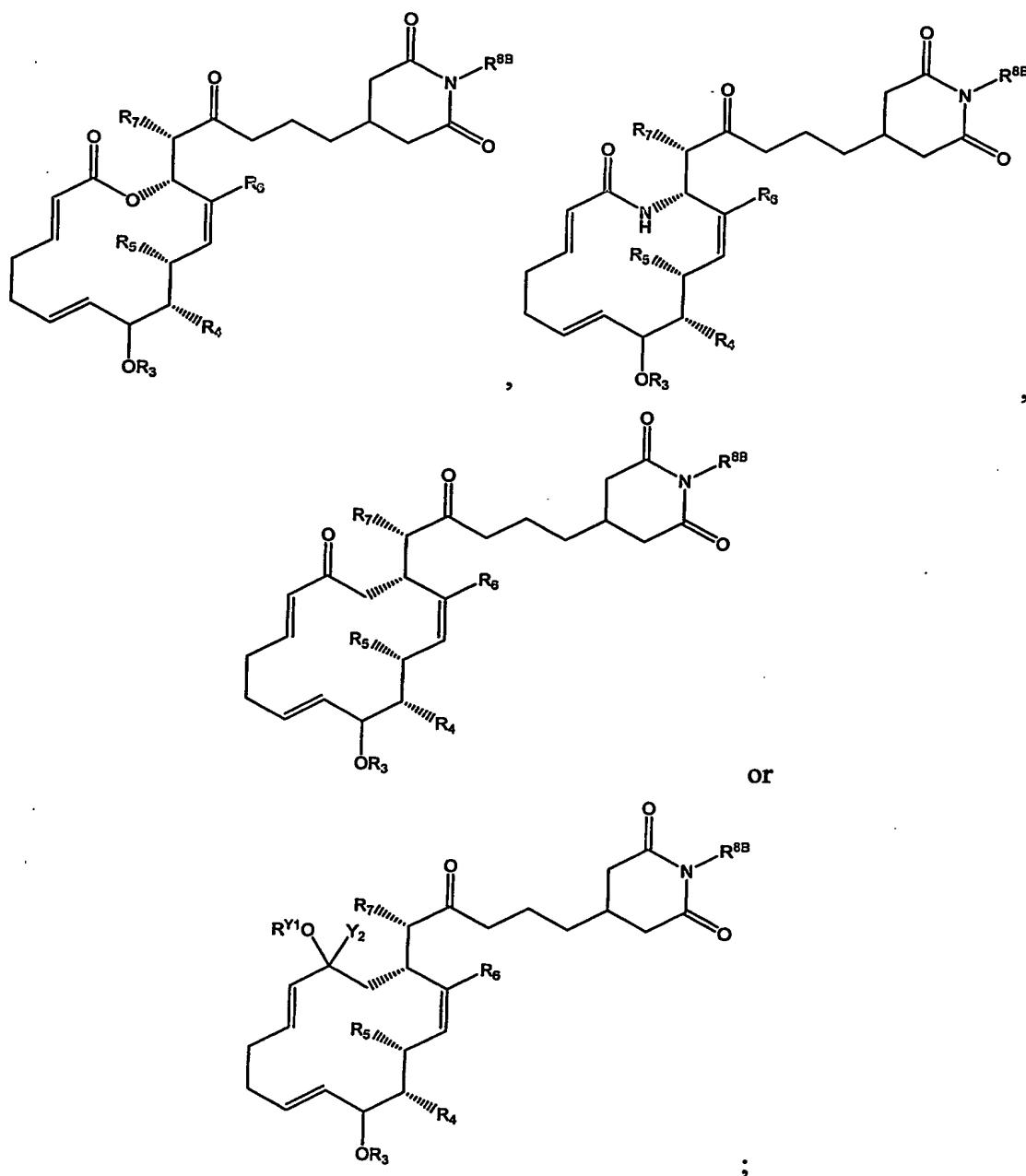
wherein R<sub>3</sub> and R<sub>4</sub> are as defined in claim 2; Y<sub>2</sub> and R<sup>Y1</sup> are independently hydrogen or lower alkyl; R<sup>8B</sup> is hydrogen or lower alkyl; and R<sup>Y</sup> is hydrogen, halogen, -OR<sup>Y1</sup> or -NR<sup>Y1</sup>NR<sup>Y2</sup>; wherein R<sup>Y1</sup> and R<sup>Y2</sup> are independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl, or R<sup>Y1</sup> and R<sup>Y2</sup>, taken together with the nitrogen atom to which they are attached, for a heterocyclic or heteroaryl moiety.

32. The compound of claim 2 wherein the compound has the structure:



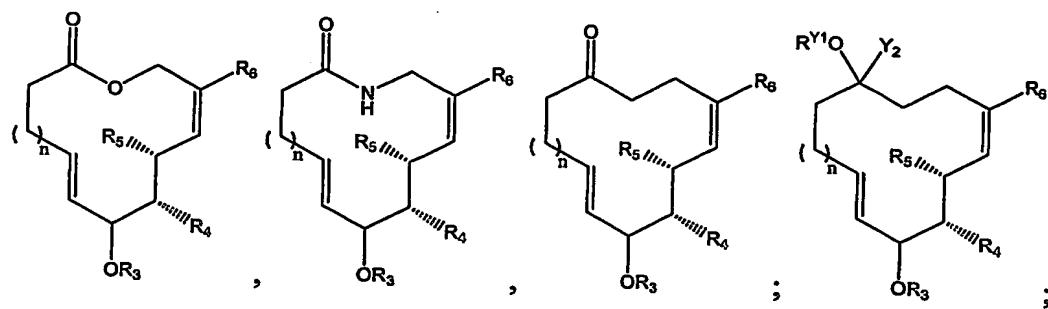
wherein  $R_3$ - $R_6$  and  $n$  are as defined in claim 11;  $Y_2$  and  $R^{Y_1}$  are independently hydrogen or lower alkyl;  $R_7$  is a substituted or unsubstituted, linear or branched, cyclic or acyclic lower alkyl moiety; and  $R^{8B}$  is hydrogen or lower alkyl.

33. The compound of claim 2 wherein the compound has the structure:



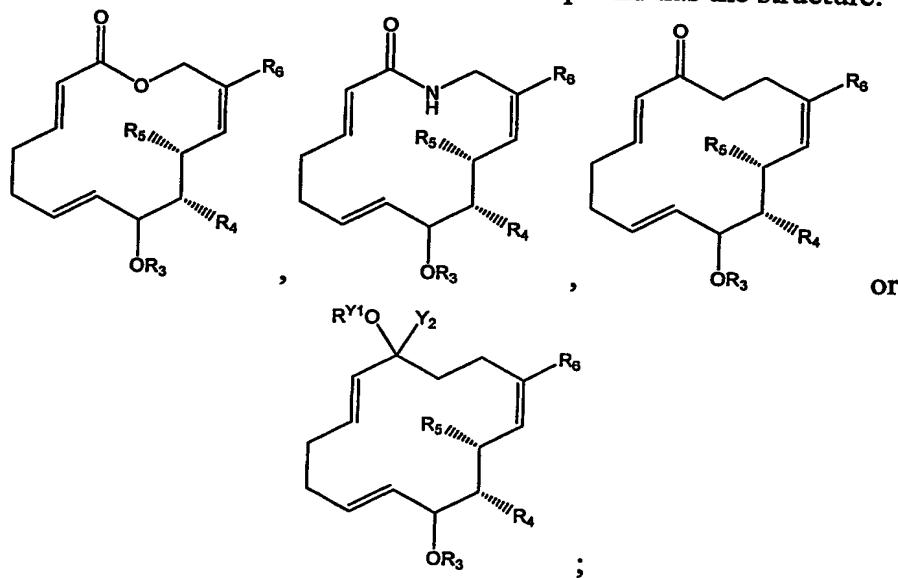
wherein R<sub>3</sub>-R<sub>6</sub> are as defined in claim 11; Y<sub>2</sub> and R<sup>Y1</sup> are independently hydrogen or lower alkyl; R<sub>7</sub> is a substituted or unsubstituted, linear or branched, cyclic or acyclic lower alkyl moiety; and R<sup>8B</sup> is hydrogen or lower alkyl.

34. The compound of claim 2 wherein the compound has the structure:



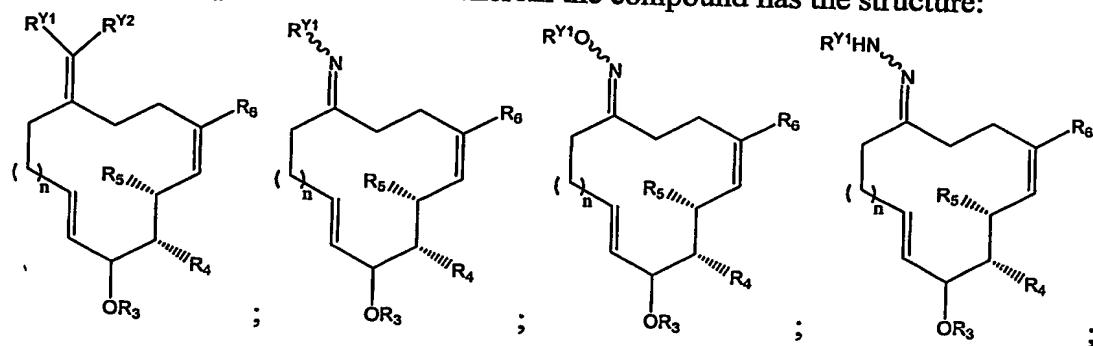
wherein R<sub>3</sub>-R<sub>6</sub> and n are as defined in claim 2; and Y<sub>2</sub> and R<sup>Y1</sup> are independently hydrogen or lower alkyl.

35. The compound of claim 2 wherein the compound has the structure:



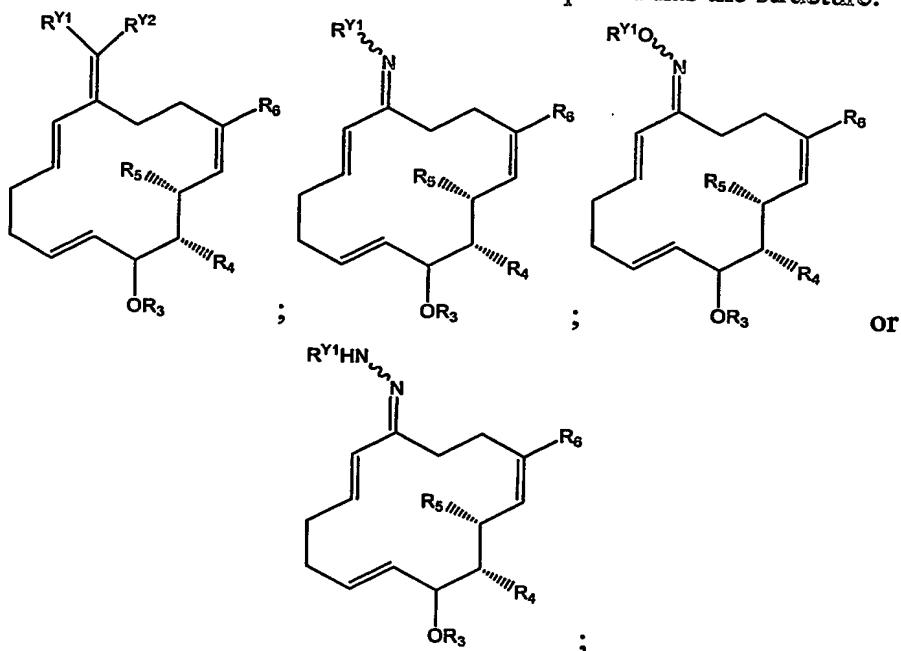
wherein R<sub>3</sub>-R<sub>6</sub> are as defined in claim 2; and Y<sub>2</sub> and R<sup>Y1</sup> are independently hydrogen or lower alkyl.

36. The compound of claim 2 wherein the compound has the structure:



wherein  $R_3$ - $R_6$  and  $n$  are as defined in claim 2; and  $Y_2$  and  $R^{Y1}$  are independently hydrogen or lower alkyl.

37. The compound of claim 2 wherein the compound has the structure:



wherein  $R_3$ - $R_6$  are as defined in claim 2; and  $Y_2$  and  $R^{Y1}$  are independently hydrogen or lower alkyl.

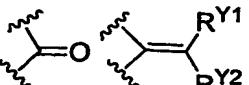
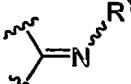
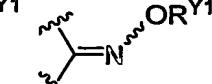
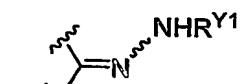
38. The compound of any one of claims 34-37, wherein  $R_5$  and  $R_6$  are each lower alkyl.

39. The compound of claim 38, wherein  $R_5$  and  $R_6$  are each methyl.

40. The compound of any one of claims 34-37, wherein  $R_3$  is lower alkyl.

41. The compound of claim 40, wherein  $R_3$  is methyl.

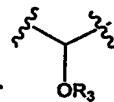
42. The compound of any one of claims 34-37, wherein  $R_4$  is hydroxyl, lower alkoxy, acyloxy, amino or halogen, or  $R_4$  taken together with the carbon atom to

which it is attached forms a moiety having the structure:  ,  ,  ,  , or  ; wherein Y<sub>1</sub> and Y<sub>2</sub> are independently hydrogen, lower alky, aryl or heteroaryl.

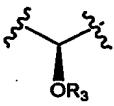
43. The compound of claim 42, wherein R<sub>4</sub> is OH, OAc, NH<sub>2</sub> or F, or R<sub>4</sub> taken together with the carbon atom to which it is attached forms a moiety having the

structure:  .

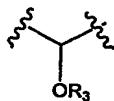
44. The compound of any one of claims 34-37, wherein the stereocenter



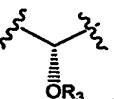
has the following stereochemistry



45. The compound of any one of claims 34-37, wherein the stereocenter



has the following stereochemistry



46. The compound of claim 42, wherein Y<sub>2</sub> is lower alkyl optionally substituted with one to three halogen atoms and R<sup>Y1</sup> is hydrogen or lower alkyl.

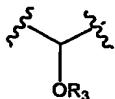
47. The compound of any one of claims 34-37, wherein Y<sub>2</sub> is lower alkyl optionally substituted with one to three halogen atoms and R<sup>Y1</sup> is hydrogen or lower alkyl.

48. The compound of any one of claims 34-37, wherein Y<sub>2</sub> is lower alkyl optionally substituted with one to three halogen atoms and R<sup>Y1</sup> is hydrogen or lower alkyl; R<sub>3</sub>, R<sub>5</sub> and R<sub>6</sub> are each methyl; R<sub>4</sub> is OH, OAc, NH<sub>2</sub> or F, or R<sub>4</sub> taken together

with the carbon atom to which it is attached forms a moiety having the structure:



; and the stereocenter

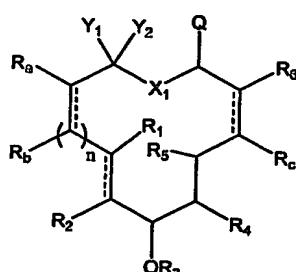


has the following stereochemistry



49. A pharmaceutical composition comprising:

a pharmaceutically acceptable carrier, adjuvant or vehicle; and  
a compound having the structure:



(I)

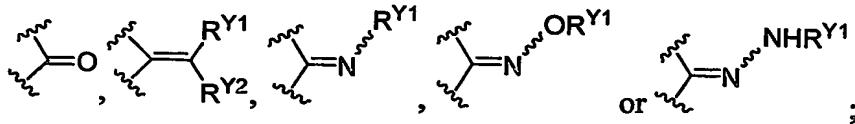
or pharmaceutically acceptable salt thereof;

wherein **R**<sub>1</sub> and **R**<sub>2</sub> are each independently hydrogen, halogen, -CN, -S(O)<sub>1-2</sub>**R**<sup>1A</sup>, -NO<sub>2</sub>, -COR<sup>1A</sup>, -CO<sub>2</sub>**R**<sup>1A</sup>, -NR<sup>1A</sup>C(=O)**R**<sup>1B</sup>, -NR<sup>1A</sup>C(=O)OR<sup>1B</sup>, -CONR<sup>1A</sup>**R**<sup>1B</sup>, an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety, or -WR<sup>1A</sup>; wherein W is independently -O-, -S- or -NR<sup>1C</sup>-; wherein each occurrence of **R**<sup>1A</sup>, **R**<sup>1B</sup> and **R**<sup>1C</sup> is independently hydrogen, or an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety; or **R**<sub>1</sub> and **R**<sub>2</sub>, taken together with the carbon atoms to which they are attached, form an alicyclic, heteroalicyclic, aryl or heteroaryl moiety;

**R**<sub>3</sub> is hydrogen, an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety; or a prodrug moiety or an oxygen protecting group;

**R**<sub>4</sub> is halogen, -OR<sup>4A</sup>, -OC(=O)**R**<sup>4A</sup> or -NR<sup>4A</sup>**R**<sup>4B</sup>; wherein **R**<sup>4A</sup> and **R**<sup>4B</sup> are independently hydrogen, an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety; a prodrug moiety, a nitrogen protecting group or an oxygen protecting group; or **R**<sup>4A</sup> and **R**<sup>4B</sup>, taken together with the nitrogen atom to which they are attached, form a heterocyclic or heteroaryl moiety; or **R**<sub>4</sub>, taken together

with the carbon atom to which it is attached forms a moiety having the structure:



$R_5$  is hydrogen, an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety;

$R_6$  is hydrogen, halogen, -CN, -S(O)<sub>1-2</sub>R<sup>6A</sup>, -NO<sub>2</sub>, -COR<sup>6A</sup>, -CO<sub>2</sub>R<sup>6A</sup>, -NR<sup>6A</sup>C(=O)R<sup>6B</sup>, -NR<sup>6A</sup>C(=O)OR<sup>6B</sup>, -CONR<sup>6A</sup>R<sup>6B</sup>, an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety, or -WR<sup>6A</sup>; wherein W is independently -O-, -S- or -NR<sup>6C</sup>-, wherein each occurrence of R<sup>6A</sup>, R<sup>6B</sup> and R<sup>6C</sup> is independently hydrogen, or an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety; or  $R_6$  and  $R_c$ , taken together with the carbon atoms to which they are attached, form an alicyclic, heteroalicyclic, aryl or heteroaryl moiety;

$R_a$  and each occurrence of  $R_b$  are independently hydrogen, halogen, -CN, -S(O)<sub>1-2</sub>R<sup>a1</sup>, -NO<sub>2</sub>, -COR<sup>a1</sup>, -CO<sub>2</sub>R<sup>a1</sup>, -NR<sup>a1</sup>C(=O)R<sup>a2</sup>, -NR<sup>a1</sup>C(=O)OR<sup>a2</sup>, -CONR<sup>a1</sup>R<sup>a2</sup>, an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety, or -WR<sup>a1</sup>; wherein W is independently -O-, -S- or -NR<sup>a3</sup>-, wherein each occurrence of R<sup>a1</sup>, R<sup>a2</sup> and R<sup>a3</sup> is independently hydrogen, or an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety; or  $R_a$  and the adjacent occurrence of  $R_b$ , taken together with the carbon atoms to which they are attached, form an alicyclic, heteroalicyclic, aryl or heteroaryl moiety;

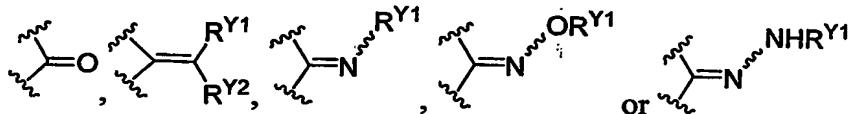
$R_c$  is hydrogen, halogen, -CN, -S(O)<sub>1-2</sub>R<sup>c1</sup>, -NO<sub>2</sub>, -COR<sup>c1</sup>, -CO<sub>2</sub>R<sup>c1</sup>, -NR<sup>c1</sup>C(=O)R<sup>c2</sup>, -NR<sup>c1</sup>C(=O)OR<sup>c2</sup>, -CONR<sup>c1</sup>R<sup>c2</sup>; an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety, or -WR<sup>c1</sup>; wherein W is independently -O-, -S- or -NR<sup>c3</sup>-, wherein each occurrence of R<sup>c1</sup>, R<sup>c2</sup> and R<sup>c3</sup> is independently hydrogen, or an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety; or  $R_c$  and  $R_6$ , taken together with the carbon atoms to which they are attached, form an alicyclic, heteroalicyclic, aryl or heteroaryl moiety;

$n$  is an integer from 1 to 5;

$X_1$  is O, S, NR<sup>X1</sup> or CR<sup>X1</sup>R<sup>X2</sup>; wherein R<sup>X1</sup> and R<sup>X2</sup> are independently hydrogen, halogen, an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety, or a nitrogen protecting group;

**Q** is hydrogen, halogen, -CN, -S(O)<sub>1-2</sub>R<sup>Q1</sup>, -NO<sub>2</sub>, -COR<sup>Q1</sup>, -CO<sub>2</sub>R<sup>Q1</sup>, -NR<sup>Q1</sup>C(=O)R<sup>Q2</sup>, -NR<sup>Q1</sup>C(=O)OR<sup>Q2</sup>, -CONR<sup>Q1</sup>R<sup>Q2</sup>, an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety, or -WR<sup>Q1</sup>; wherein W is independently -O-, -S- or -NR<sup>Q3</sup>-; wherein each occurrence of R<sup>Q1</sup>, R<sup>Q2</sup> and R<sup>Q3</sup> is independently hydrogen, or an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety;

**Y<sub>1</sub>** and **Y<sub>2</sub>** are independently hydrogen, an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety; or -WR<sup>Y1</sup>; wherein W is independently -O-, -S- or -NR<sup>Y2</sup>-; wherein each occurrence of R<sup>Y1</sup> and R<sup>Y2</sup> is independently hydrogen, or an aliphatic, heteroaliphatic, alicyclic, heteroalicyclic, aryl or heteroaryl moiety; or **Y<sub>1</sub>** and **Y<sub>2</sub>** together with the carbon atom to which they are attached form a moiety having the structure:



50. The pharmaceutical composition of claim 49 wherein the compound is present in an amount effective to inhibit the metastasis of tumor cells.
51. The pharmaceutical composition of claim 49 wherein the compound is present in an amount effective to inhibit angiogenesis.
52. The composition of claim 49, further comprising a cytotoxic agent.
53. The composition of claim 52, wherein the cytotoxic agent is an anticancer agent.
54. The composition of claim 53, wherein the anticancer agent is 12,13-desoxyepothilone B, (E)-9,10-dehydro-12,13-desoxyEpoB, 26-CF3-(E)-9,10-dehydro-12,13-desoxyEpoB, taxol, radicicol or TMC-95A/B.
55. The composition of claim 49, further comprising a palliative agent.

56. A method for treating or lessening the severity of metastasis of tumor cells in a subject comprising:

administering to a subject in need thereof a therapeutically effective amount of a composition according to claim 49;

said method optionally further comprising a cytotoxic agent.

57. The method of claim 56, wherein the method is used to treat or lessen the severity of metastasis of prostate, breast, colon, bladder, cervical, skin, testicular, kidney, ovarian, stomach, brain, liver, pancreatic or esophageal cancer or lymphoma, leukemia, or multiple myeloma.

58. The method of claim 57, wherein the cancer is a solid tumor.

59. The method of claim 56, wherein the cytotoxic agent is an anticancer agent.

60. The method of claim 59, wherein the anticancer agent is 12,13-desoxyepothilone B, (E)-9,10-dehydro-12,13-desoxyEpoB, 26-CF3-(E)-9,10-dehydro-12,13-desoxyEpoB, taxol, radicicol or TMC-95A/B.

61. The method of claim 59, further comprising administering a palliative agent.

62. A method for inhibiting angiogenesis in a subject comprising:

administering to a subject in need thereof an angiogenesis inhibiting amount of a composition according to claim 49.

63. The method of claim 62, wherein the angiogenesis causes an angiogenesis dependent disease.

64. The method of claim 63, wherein the angiogenesis dependent disease is ocular angiogenic diseases, diabetic retinopathy, retinopathy of prematurity, corneal

graft rejection, neovascular glaucoma, retrolental fibroplasias, rubeosis, solid tumors, blood born tumors, leukemias, tumor metastases, benign tumors, acoustic neuromas, neurofibromas, trachomas, pyogenic granulomas, rheumatoid arthritis, psoriasis, Osler-Webber Syndrome, myocardial angiogenesis, plaque neovascularization, telangiectasia, hemophiliac joints, angiofibroma, or wound granulation.

65. A method of treating a non-tumor blood condition associated with angiogenesis in a subject comprising:

administering to a subject in need thereof an angiogenesis inhibiting amount of a composition according to claim 49.

66. The method of claim 65 wherein the undesired angiogenesis occurs in polyarteritis, sickle cell anemia, vein occlusion, artery occlusion, carotid obstructive disease, Osler-Weber-Rendu disease or atherosclerosis.

67. A method of treating an immune disease associated with angiogenesis in a subject comprising:

administering to a subject in need thereof an angiogenesis inhibiting amount of a composition according to claim 49.

68. The method of claim 67 wherein the undesired angiogenesis occurs in rheumatoid arthritis, systemic lupus, in osteoarthritis or acquired immune deficiency syndrome.

69. A method of treating an infection associated with angiogenesis in a subject comprising:

administering to a subject in need thereof an angiogenesis inhibiting amount of a composition according to claim 49.

70. The method of claim 69 wherein the undesired angiogenesis occurs in syphilis, Mycobacteria infections, Herpes simplex infections, Herpes zoster infections, protazoan infections, in toxoplasmosis or Bartonellosis.